

Antimicrobial Action

As for Sulfamethoxazole, p.341.

Pharmacokinetics

When sulfacetamide sodium is applied to the eye it penetrates into ocular tissues and fluids; sulfacetamide may be absorbed into the blood when the conjunctiva is inflamed.

Uses and Administration

Sulfacetamide is a sulfonamide antibacterial that is used with sulfabenzamide and sulfathiazole in preparations for vaginal use, and is applied, as the sodium salt, in infections or injuries of the eyes, although it is rarely of much value. Eye drops containing sulfacetamide sodium 10% to 30% and eye ointments containing 10% have been used. The sodium salt is also applied topically in the treatment of skin infections.

Preparations

USP 31: Neomycin Sulfate, Sulfacetamide Sodium, and Prednisolone Acetate Ophthalmic Ointment; Sulfacetamide Sodium and Prednisolone Acetate Ophthalmic Ointment; Sulfacetamide Sodium and Prednisolone Acetate Ophthalmic Suspension; Sulfacetamide Sodium Ophthalmic Ointment; Sulfacetamide Sodium Ophthalmic Solution; Sulfacetamide Sodium Topical Suspension; Triple Sulf Vaginal Cream; Triple Sulf Vaginal Tablets.

Proprietary Preparations (details are given in Part 3)

Arg.: Dermaseb; **Austral.:** Acetopt; Bleph-10; Optamide†; **Austria:** Beocid Puroptal; Cetazin; **Belg.:** Anginamide; Antebor; Sulfa 10; Sulfacolylre†; **Braz.:** Queimalive; **Canad.:** Ak-Sulf; Cetamide; Diosulf†; Sodium Sulamyd†; **Fr.:** Antebor†; **Ger.:** Albucid†; **Hong Kong:** Bleph-10; Spersacet†; Sulfex; Vista-Cetamide†; **India:** Albucid; Locula; Ocu-Sulf; **Indon.:** Albucid; Isotic Cetride; **Israel:** Klaron; Optisol; Sulfacid; **Ital.:** Optamid†; **Mex.:** Blef-10; Cetapred; Examid; Sul 10; **NZ:** Acetopt; Bleph-10; **Philipp.:** Acetopt; Senocet†; **Rus.:** Sulfacyl (Сульфацил)†; **S.Afr.:** Covosulf†; Spersamide; **Spain:** Sulfacetam†; **Switz.:** Spersacet†; **Thai.:** Bleph-10†; Opsar†; Optal; **Turk.:** Optamid; **USA:** Ak-Sulf; Bleph-10; Carmol Scalp Treatment; Cetamide; Isopto; Cetamide; Klaron; Mexar; Ocusulf; Ovace; Sodium Sulamyd; Sulf-10; Sulfac; Vanocin; **Venez.:** Sulfacet.

Multi-ingredient: **Arg.:** Blefamide; C-G†; **Belg.:** Sultrin†; **Braz.:** Isopto Cetapred; Oto-Biotic†; Paraqueimol; Sulnil; Vagi-Sulfa; **Canad.:** Blephamide; Dioprimy†; Sulfacet-R; Vasocidin†; **Chile:** Blefamide; Deltamid; **Cz.:** Isopto Cetapred†; **Ger.:** Blephamide; **Gr.:** Blephamide†; Isopto Cetapred; Sulfachloramphenicol; Sulfanicol; Sultrin; **Hong Kong:** Blephamide; **India:** Cortola-m; Nebasulf; Zinco Sulpha; **Irl.:** Sultrin†; **Israel:** Blephamide; **Ital.:** Antistettico Astringente Sedativo; Aureomix; Brumeton Colloidale S; Cosmicidina; Visublearite; **Malaysia:** Blephamide†; **Mex.:** Blefamide; Blefamide-F; Deltamid; Isopto Cetapred; Locion Axel†; Metimyd†; Premid; Sulfa Cloran; Sulfa Hidro; Sulvi; **NZ:** Blephamide; **Philipp.:** Cetapred; Isopto Cetapred; Sultrin; **Port.:** Meocil; Sultrin†; **S.Afr.:** Covancaine; Covosan; Spersacet; C†; Sultrin; **Singapore:** Blephamide; **Spain:** Betamid†; Celestone S; Denticelso; **Switz.:** Blephamide; Spersacet; C†; **Turk.:** Blephamid; Suprenil; **UK:** Sultrin†; **USA:** Avar; Blephamide; Clenia; FML-S; Metimyd; Nicosyn; Novacet; Plexion; Rosac; Rosanil; Rosula; Rosula NS; Sulfacet-R; Sulfamide; Sultrin; Suphera; Vasocidin; Vasocine; Vasosulf; Zetacet; **Venez.:** Sulfacort.

Sulfachlorpyridazine (BAN, rINN)

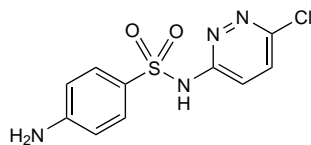
Nulfachlorpyridazinum; Sulfachlorpiridazina; Sulphachlorpyridazine. N¹-(6-Chloropyridazin-3-yl)sulphanilamide.

Сульфаклорпиридазин

C₁₀H₉ClN₄O₂S = 284.7.

CAS — 80-32-0.

ATC Vet — QJ01EQ12.



Pharmacopoeias. In *US* for veterinary use only.

USP 31 (Sulfachlorpyridazine). Protect from light.

Profile

Sulfachlorpyridazine is a sulfonamide antibacterial.

Preparations

Proprietary Preparations (details are given in Part 3)

Multi-ingredient: **Braz.:** Mictasol com Sulfat.

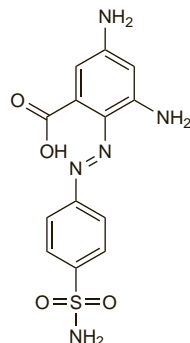
Sulfachrysoidine (rINN)

Carboxysulfamidochrysoidine; Sulfachrysoidine; Sulfachrysoidinum; Sulfacrisoïdina. 3,5-Diamino-2-(p-sulfamoylphenylazo)-benzoic acid.

Сульфакризоидин

C₁₃H₁₃N₅O₄S = 335.3.

CAS — 485-41-6.

**Profile**

Sulfachrysoidine is a sulfonamide antibacterial that is used topically as the sodium salt for infections of the oral mucosa.

Sulfaclozine (rINN)

Sulfaclozina; Sulfaclozinum; Sulfaklotsiini; Sulfaclozin. N¹-(6-Chloropyrazinyl)sulphanilamide.

Сульфаклозин

C₁₀H₉ClN₄O₂S = 284.7.

CAS — 102-65-8; 27890-59-1.

ATC Vet — QP51AG04.

Profile

Sulfaclozine is a sulfonamide antibacterial that has been used in veterinary medicine.

Sulfadiazine (BAN, rINN)

Solfadiazina; Solfapirimidina; Sulfadiatsiini; Sulfadiazin; Sulfadiazin; Sulfadiazina; Sulfadiazinas; Sulfadiazinum; Sulphadiazine; Szulfadiazin. N¹-(Pyrimidin-2-yl)sulphanilamide.

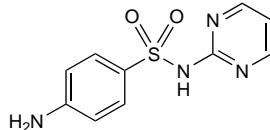
Сульфадиазин

C₁₀H₁₀N₄O₂S = 250.3.

CAS — 68-35-9.

ATC — J01EC02.

ATC Vet — QJ01EQ10.



NOTE. Compounded preparations of sulfadiazine may be represented by the following names:

- Co-tetroxazine (BAN)—sulfadiazine 5 parts and tetroxoprim 2 parts (see p.257)
- Co-trimazine (BAN)—sulfadiazine 5 parts and trimethoprim 1 part (see p.258).

Pharmacopoeias. In *Chin.*, *Eur.* (see p.vii), *US*, and *Viet.*

Ph. Eur. 6.2 (Sulfadiazine). White, yellowish-white, or pinkish-white, crystalline powder or crystals. Practically insoluble in water; very slightly soluble in alcohol; slightly soluble in acetone. It dissolves in solutions of alkali hydroxides and in dilute mineral acids. Protect from light.

USP 31 (Sulfadiazine). White or slightly yellow, odourless or nearly odourless, powder, slowly darkening on exposure to light. Soluble 1 in 13 000 of water; sparingly soluble in alcohol and in acetone; freely soluble in dilute mineral acids and in solutions of potassium and sodium hydroxides, and ammonia. Protect from light.

Sulfadiazine Sodium (BANM, rINN)

Sodium Sulfadiazine; Soluble Sulphadiazine; Sulfadiazina de sodio; Sulfadiazina sódica; Sulfadiazine sodique; Sulfadiazinum Natricum; Sulphadiazine Sodium.

Сульфадиазин Натрий

C₁₀H₉N₄NaO₂S = 272.3.

CAS — 547-32-0.

ATC — J01EC02.

Pharmacopoeias. In *Chin.* and *US*.

USP 31 (Sulfadiazine Sodium). A white powder. Soluble 1 in 2 of water; slightly soluble in alcohol. On prolonged exposure to humid air it absorbs carbon dioxide with the liberation of sulfadiazine and becomes incompletely soluble in water. Store in air-

tight containers at a temperature of 25°, excursions permitted between 15° and 30°. Protect from light.

Incompatibility. Solutions of sulfadiazine sodium are alkaline, and incompatibility may reasonably be expected with acidic drugs or with preparations unstable at high pH. In the UK, licensed product information states that sulfadiazine sodium injection is incompatible with fructose, iron salts, and salts of heavy metals.

Adverse Effects, Treatment, and Precautions

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Because of the low solubilities of sulfadiazine and its acetyl derivative in urine, crystalluria is more likely after use of sulfadiazine than after sulfamethoxazole.

Sulfadiazine sodium solution is strongly alkaline and it should therefore be given intravenously in a strength not exceeding 5%, over at least 10 minutes. For the same reason, intramuscular injections are painful and sulfadiazine sodium should not be given by intrathecal or subcutaneous injection.

Carnitine deficiency. Hyperammonaemia and carnitine deficiency developed in an immunocompromised patient given sulfadiazine and pyrimethamine for the treatment of toxoplasmosis.¹

1. Sekas G, Harbhajan SP. Hyperammonemia and carnitine deficiency in a patient receiving sulfadiazine and pyrimethamine. *Am J Med* 1993; **95**: 112–13.

Effects on the eyes. Numerous white stone-like concretions of sulfadiazine occurred in the conjunctiva of a woman who had used sulfadiazine eye drops for about 1 year.¹

1. Boettner EA, et al. Conjunctival concretions of sulfadiazine. *Arch Ophthalmol* 1974; **92**: 446–8.

Effects on the kidneys. Reports of crystalluria and renal failure associated with the use of sulfadiazine in immunocompromised patients,¹⁻⁸ including the suggestion that AIDS patients may be particularly prone to sulfadiazine-induced renal toxicity.³ Renal failure and leucopenia in a patient treated with sulfadiazine silver for pyoderma gangrenosum were thought to be due to systemic absorption of the silver component.⁹

1. Goadsby PJ, et al. Acquired immunodeficiency syndrome (AIDS) and sulfadiazine-associated acute renal failure. *Ann Intern Med* 1987; **107**: 783–4.
2. Ventura MG, et al. Sulfadiazine revisited. *J Infect Dis* 1989; **160**: 556–7.
3. Simon DI, et al. Sulfadiazine crystalluria revisited: the treatment of Toxoplasma encephalitis in patients with acquired immunodeficiency syndrome. *Arch Intern Med* 1990; **150**: 2379–84.
4. Díaz F, et al. Sulfadiazine-induced multiple urolithiasis and acute renal failure in a patient with AIDS and Toxoplasma encephalitis. *Ann Pharmacother* 1996; **30**: 41–2.
5. Guitard J, et al. Sulfadiazine-related obstructive urinary tract lithiasis: an unusual cause of acute renal failure after kidney transplantation. *Clin Nephrol* 2005; **63**: 405–7.
6. Solano Rímez M, et al. Insuficiencia renal por sulfadiazina en paciente VIH con toxoplasmosis cerebral. *An Med Interna* 2005; **22**: 395–6.
7. Hyvernat H, et al. Insuffisance rénale aiguë obstructive lors d'un traitement par sulfadiazine. *Presse Med* 2006; **35**: 423–4.
8. de la Prada Alvarez FJ, et al. Insuficiencia renal aguda por depósito de cristales de sulfadiazina. *An Med Interna* 2007; **24**: 235–8.
9. Chaby G, et al. Insuffisance rénale aiguë après application topique de sulfadiazine argentine. *Ann Dermatol Venerol* 2005; **132**: 891–3.

Effects on the salivary glands. Enlargement of the salivary glands (sialadenitis) has been reported¹ in a patient who received a preparation containing sulfadiazine; complete recovery followed within 3 days of stopping therapy. Rechallenge confirmed that sulfadiazine was the causative agent.

1. Añibarro B, Fontela JL. Sulfadiazine-induced sialadenitis. *Ann Pharmacother* 1997; **31**: 59–60.

Interactions

As for Sulfamethoxazole, p.341.

Antimicrobial Action

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Pharmacokinetics

Sulfadiazine is readily absorbed from the gastrointestinal tract, peak blood concentrations being reached 3 to 6 hours after a single dose; 20 to 55% has been reported to be bound to plasma proteins. It penetrates into the CSF within 4 hours of an oral dose to produce therapeutic concentrations which may be more than half those in the blood. Up to 40% of sulfadiazine in the blood is present as the acetyl derivative. The half-life of sulfadiazine is about 10 hours; it is prolonged in renal impairment.